

ABSTRACT OF THE DISCLOSURE

Methods for transporting a biologically active agent across a cellular membrane or a lipid bilayer. A first method includes the steps of:

- (a) providing a biologically active agent which can exist in a native conformational state, a denatured conformational state, and an intermediate
5 conformational state which is reversible to the native state and which is conformationally between the native and denatured states;
- (b) exposing the biologically active agent to a complexing perturbant to reversibly transform the biologically active agent to the intermediate state and to form a transportable supramolecular complex; and
- 10 (c) exposing the membrane or bilayer to the supramolecular complex, to transport the biologically active agent across the membrane or bilayer. The perturbant has a molecular weight between about 150 and about 600 daltons, and contains at least one hydrophilic moiety and at least one hydrophobic moiety. The supramolecular complex comprises the perturbant non-covalently bound or
15 complexed with the biologically active agent. In the present invention, the biologically active agent does not form a microsphere after interacting with the perturbant. A method for preparing an orally administrable biologically active agent comprising steps (a) and (b) above is also provided as are oral delivery compositions.

Additionally, mimetics and methods for preparing mimetics are contemplated.